Janarthanan T, J Bioanal Biomed 2014, 6:2
http://dx.doi.org/10.4172/1948-593X.S1.013

OMICS Group Conferences
Accelerating Scientific Discovery

3rd International Conference and Exhibition on
Biowaivers, Biologics & Biosimilars

October 27-29, 2014 Hyderabad International Convention Centre, Hyderabad, India

Design and synthesis of some novel antibacterial agents targeting Gyrase B and Par E

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The remarkable ability of bacteria to develop resistance to antibacterial agents is the reason for the continued need to search for new antibacterial targets and develop novel antimicrobial agents. A drug target is likely to develop resistance if it cannot easily tolerate change and maintain function. Many drug targets are enzymes. Because these enzymes perform highly constrained and crucial chemical reactions, they can represent resilient targets that are less susceptible to drug resistance. The use of high-resolution structures and evolutionary constraints aids the design of robust inhibitors. DNA gyrase (GyrA and GyrB) and topoisomerase IV (ParC and ParE) are the two type II topoisomerases present in bacteria and are attractive targets for antibacterial drug discovery. The associated subunits responsible for supplying the energy necessary for catalytic turnover/resetting of the enzymes via ATP hydrolysis are GyrB (gyrase) and ParE (topoIV), respectively. The ATP binding sites in these subunits have been less successfully exploited as antibacterial targets with the exception of the natural product coumarins, e.g., novobiocin and cyclothialadines. By choosing resilient targets and designing robust inhibitors the Institute for Drug Resistance (IDR) proposes to focus on drug resistance in drug design strategies, and develop a new generation of more-effective therapeutics.

Biography

Janarthanan T is doing his PhD in J.S.S College of pharmacy, Ooty (A Constituent of J.S.S University, mysore). He has 5years of experience in pharmaceutical industry as a quality control executive. He attended various industrial and academic training and workshop programmes.